

Figure 1. Equilibrium binding of EZ-E-glucuronide to rhesus BBMVs

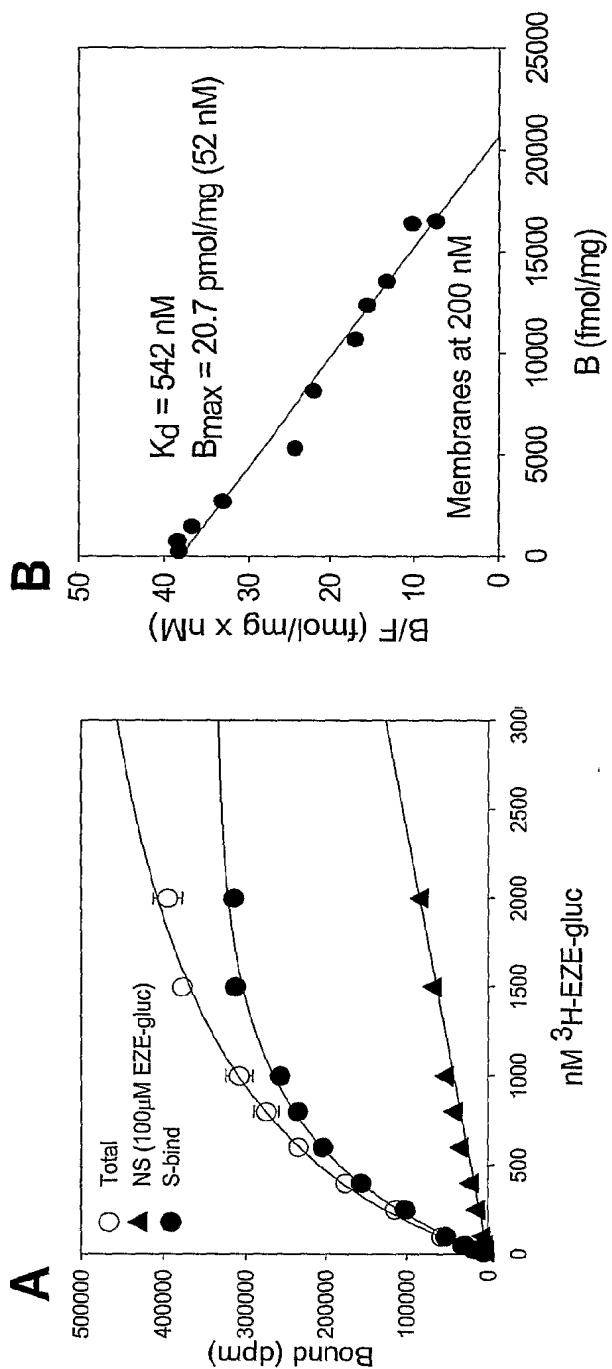


Figure 2. Equilibrium binding of EZE-glucuronide to rat BBMV.

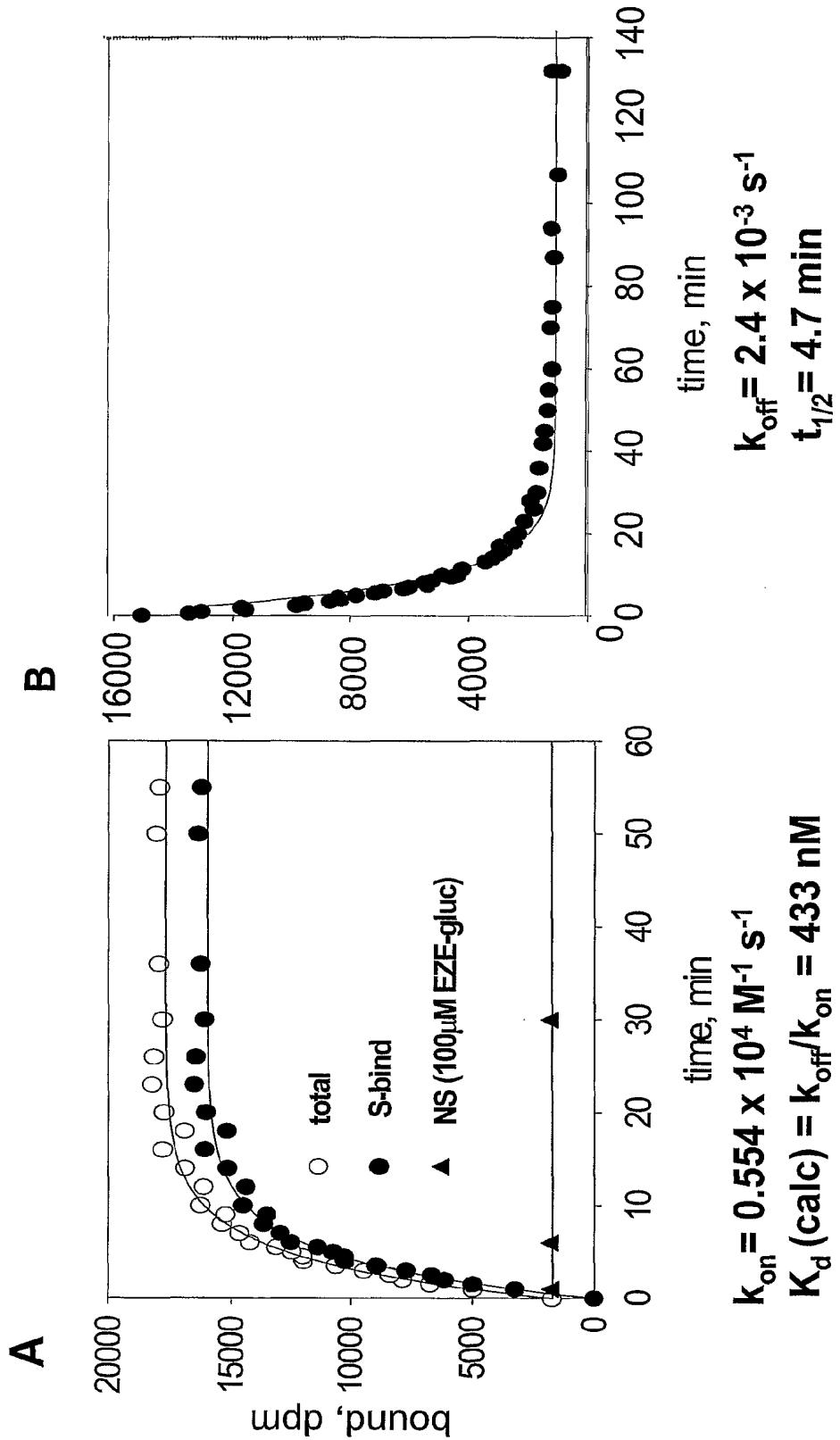
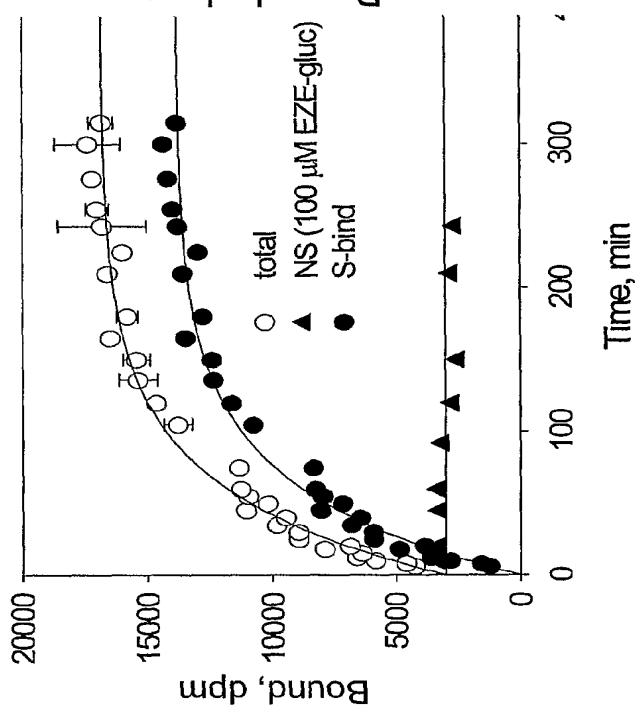
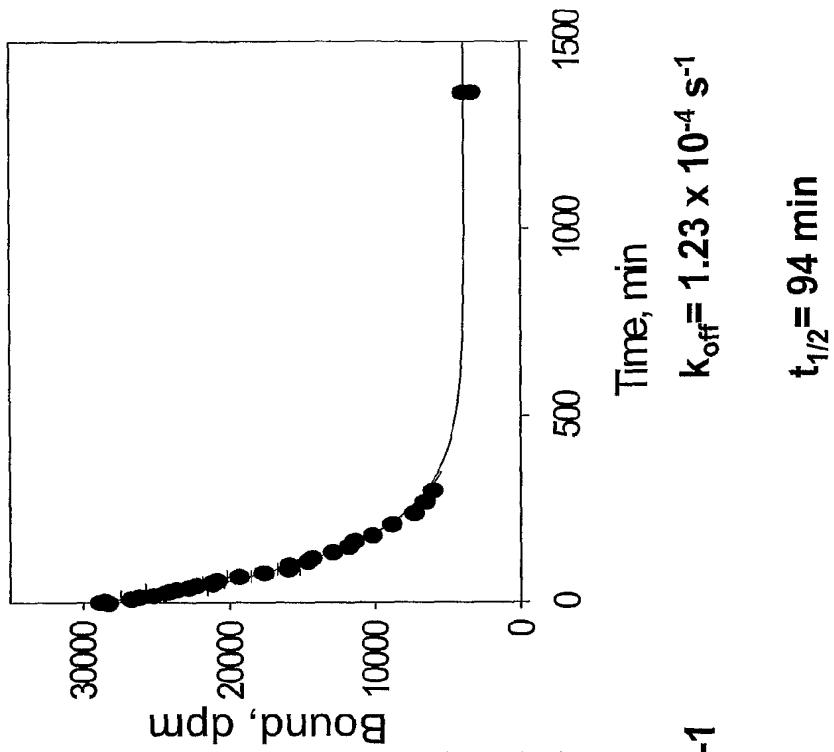


Figure 3. Association and dissociation kinetics of ^{3}H -EZE-glucuronide in rat BBMV.

A



B



$$k_{\text{obs}} = 0.01673 \text{ min}^{-1} = 2.79 \times 10^{-4} \text{ s}^{-1}$$

$$K_{\text{on}} = 3.9 \times 10^3 \text{ M}^{-1} \text{ s}^{-1}$$

$$t_{1/2} = 94 \text{ min}$$

$$K_d (\text{calc}) = k_{\text{off}} / K_{\text{on}} = 32 \text{ nM}$$

Figure 4. Association and Dissociation kinetics of ^{3}H -EZE-glucuronide in rhesus BBMV.

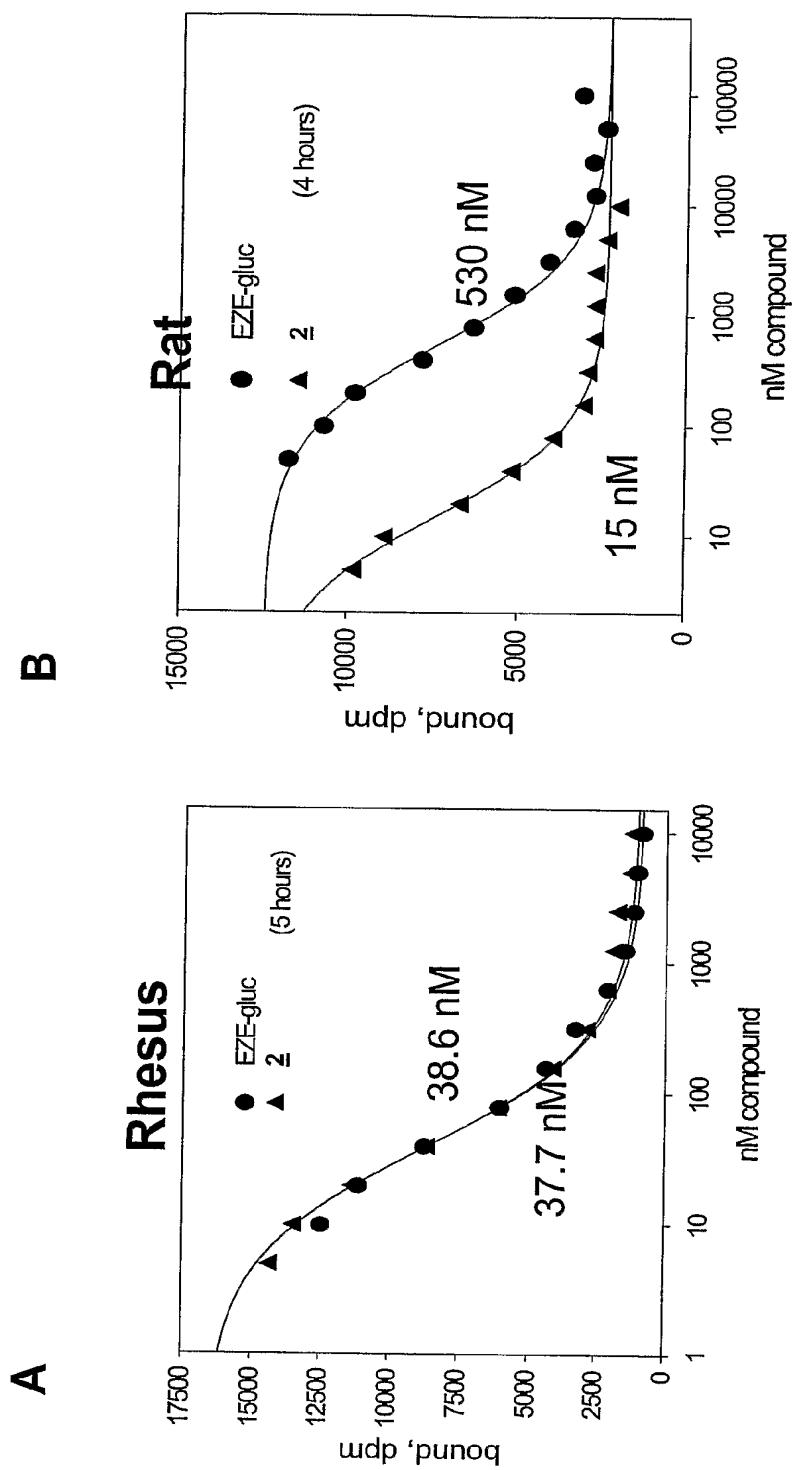


Figure 5. Displacement of ^3H -EZE-glucuronide by EZE-glucuronide and compound **2** in rhesus and rat BBMV.

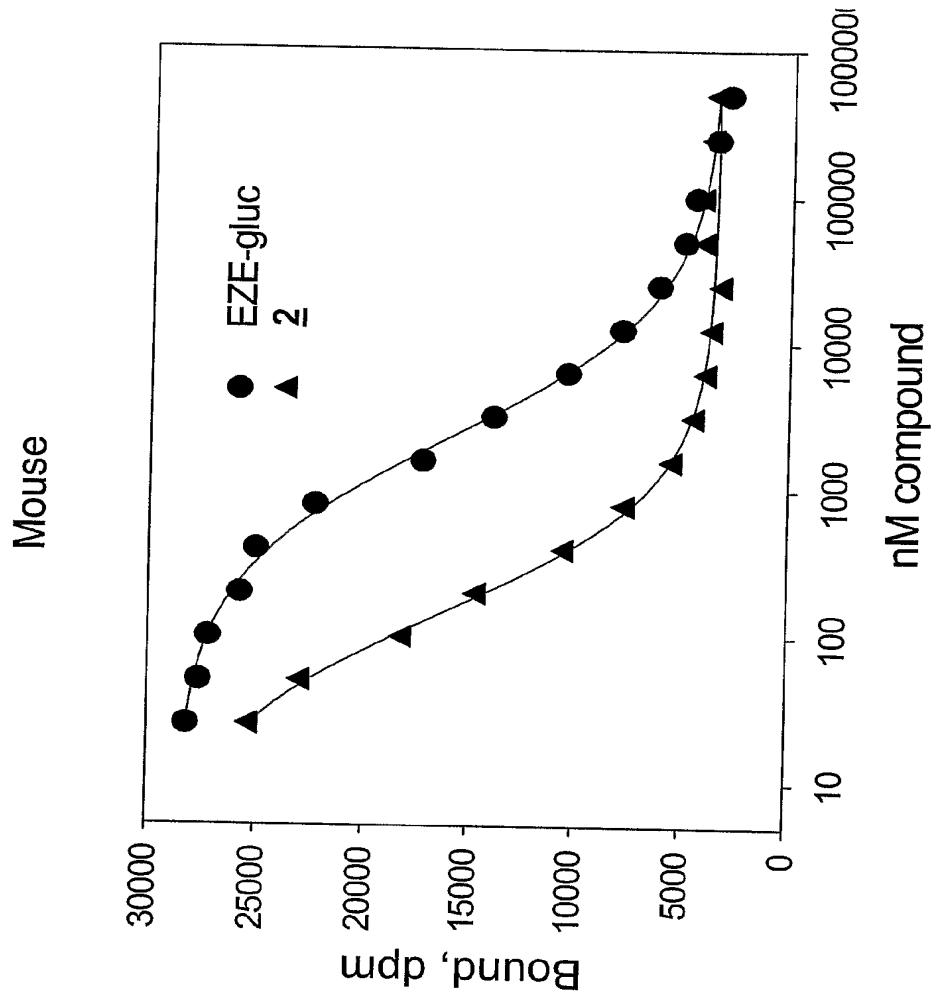


Figure 6. Displacement of ^{35}S -labeled compound 2 by EZE-gluc and compound 2 in mouse BBMV.

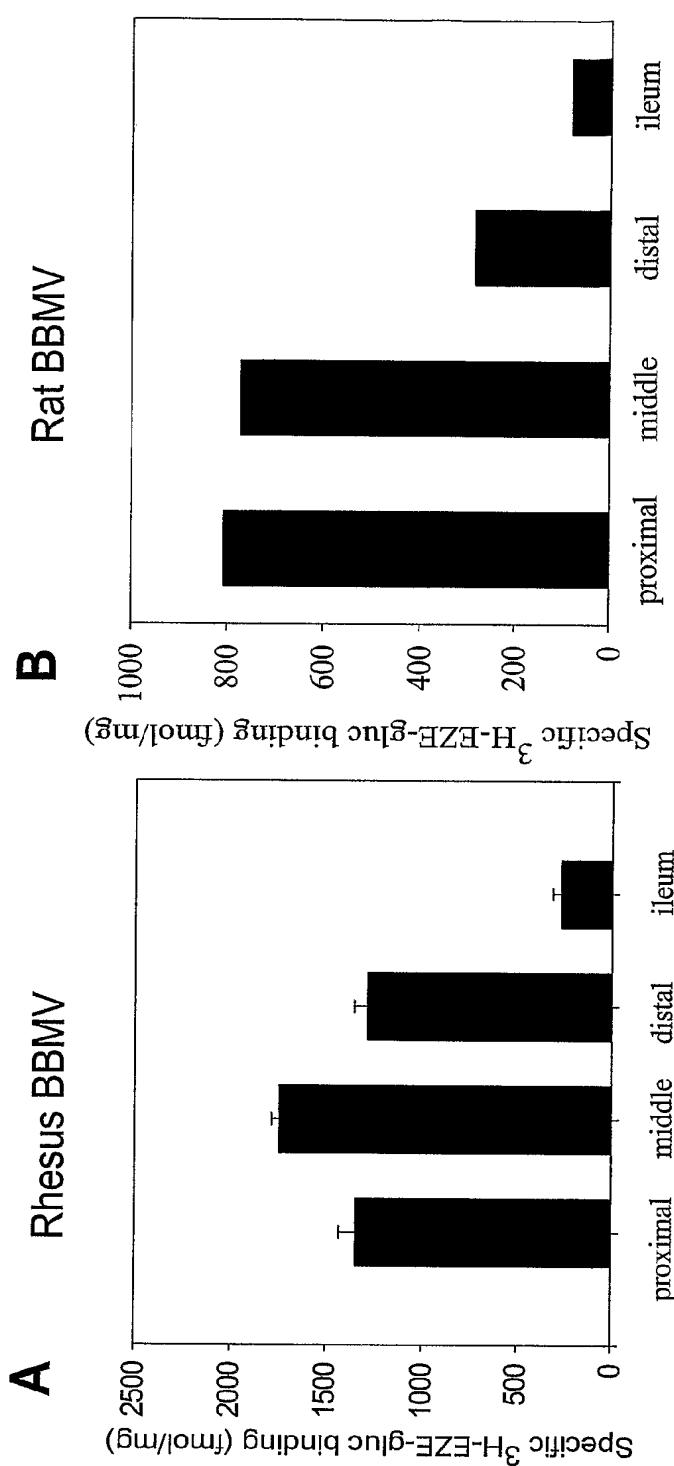


Figure 7. Intestinal distribution of ezetimibe binding sites.

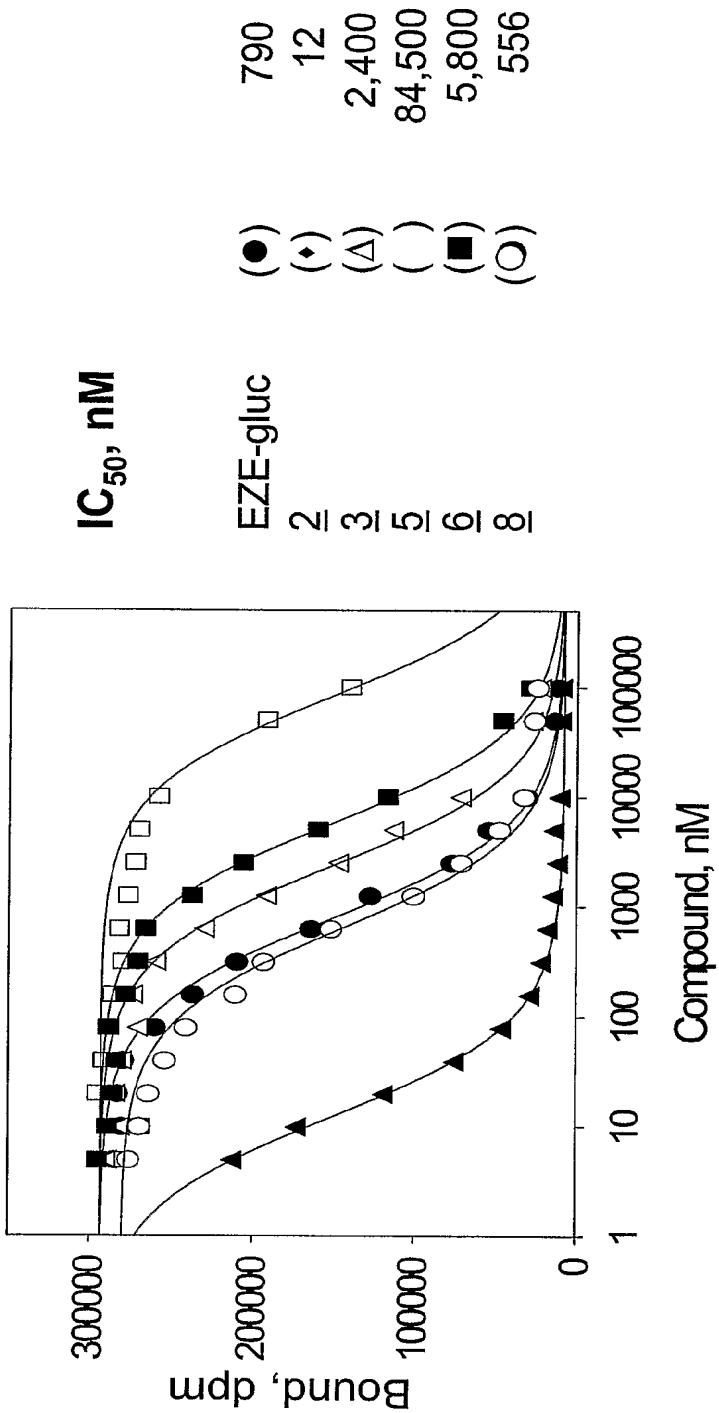


Figure 8. Displacement of ^{35}S -labeled compound 2 by EZE-gluc and analogs in transfected CHO cells expressing rat NPC1L1

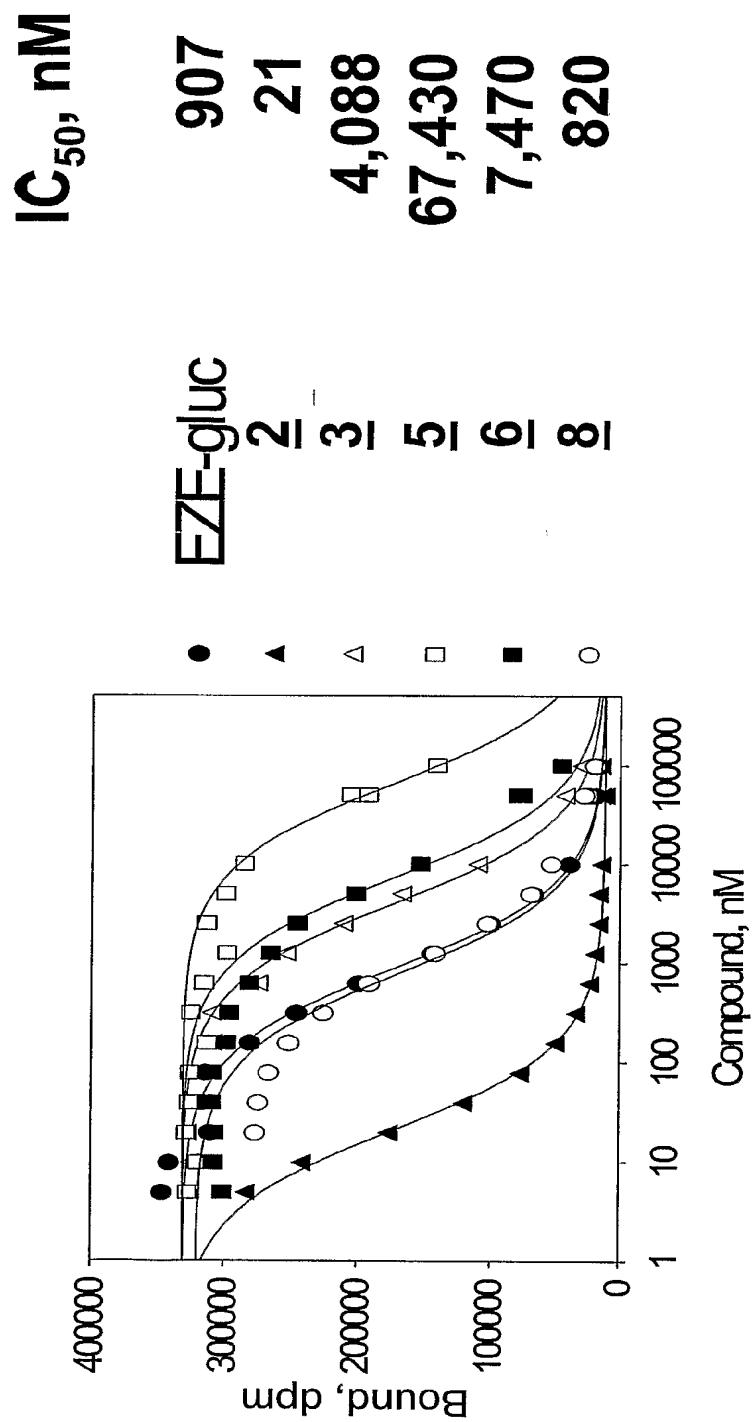


Figure 9. Displacement of ^{35}S -labeled compound **2 by EZE-gluc and analogs in transfected CHO cells expressing human NPC1L1**

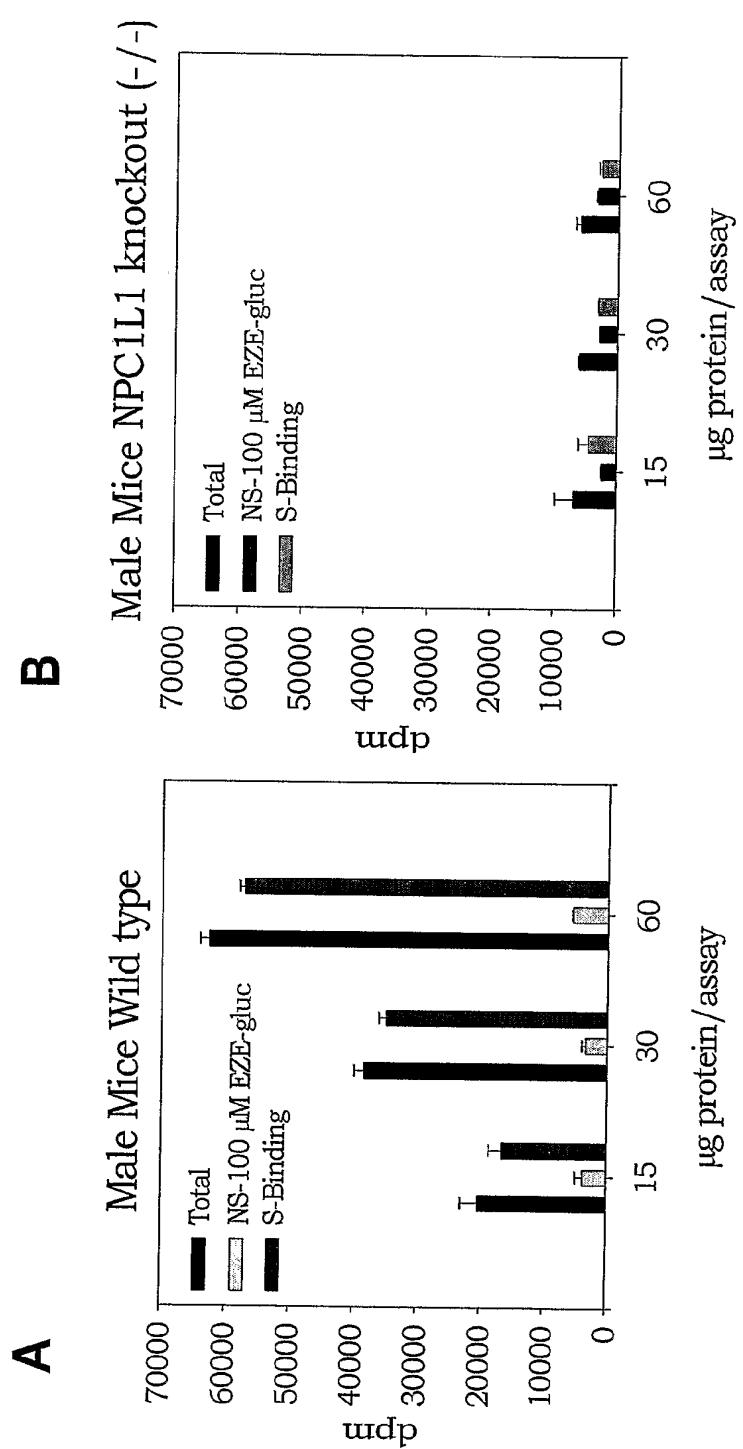


Figure 10. ^{35}S -labeled compound **2** binding with brush border membranes from intestinal mucosal scrapings of male wild type (A) and NPC1L1 knockout (-/-) mice (B).

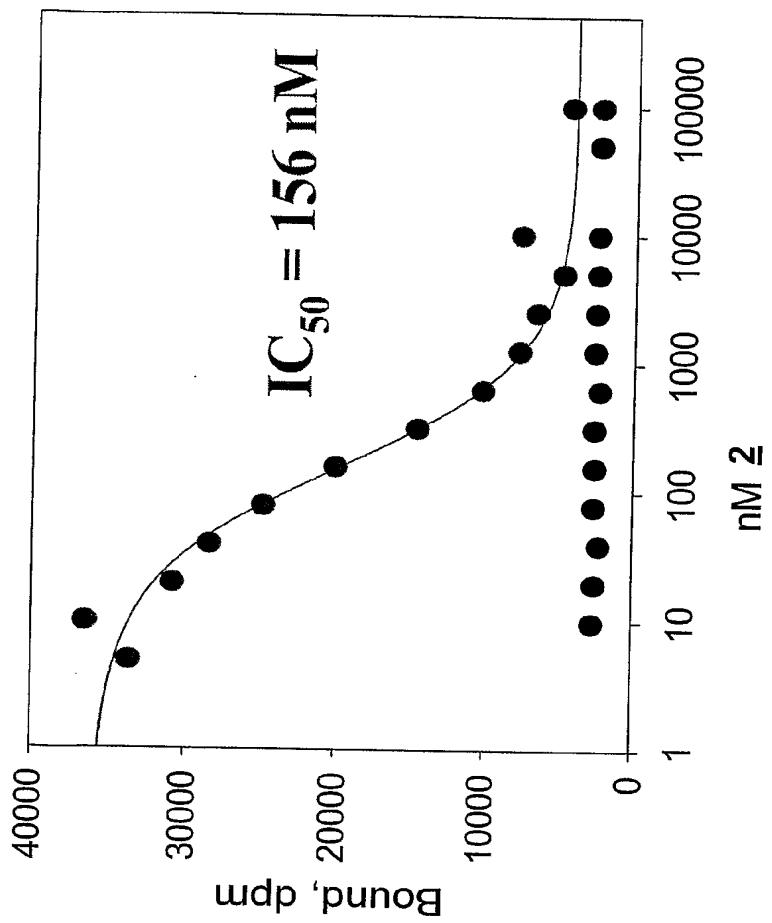


Figure 11. Displacement of ^{35}S -labeled compound 2 by compound 2 in mouse wild type and knockout mouse NPC1L1 ($-\text{-}$) BBMV.

FIGURE 12

Competition

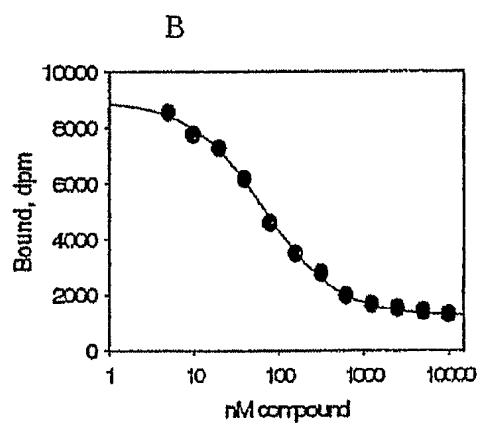
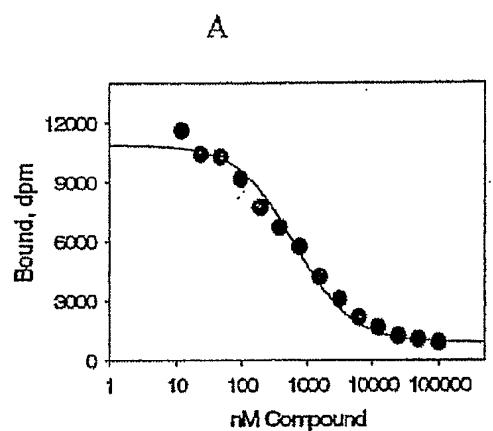


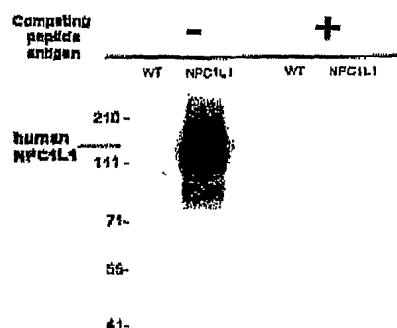
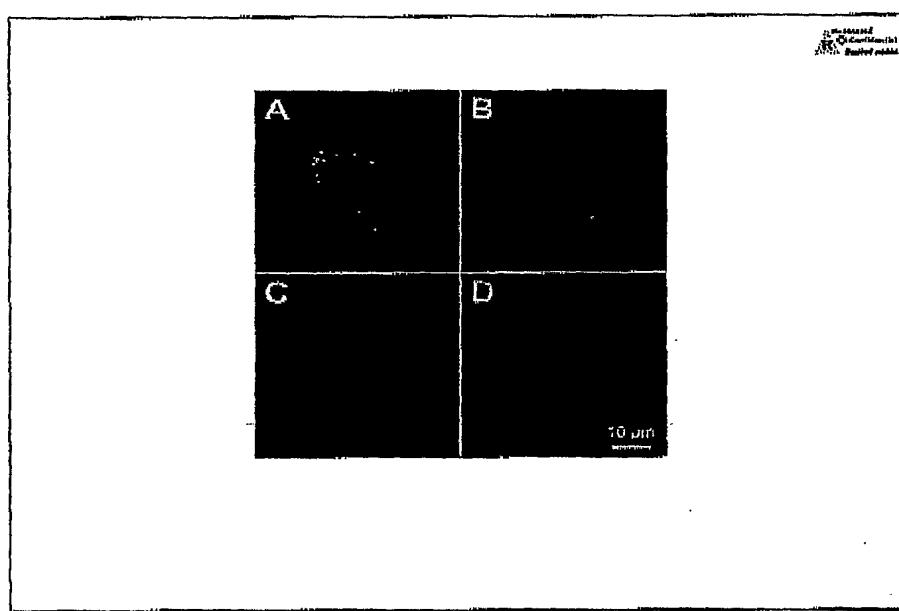
FIGURE 13**Panel 1****Panel 2**

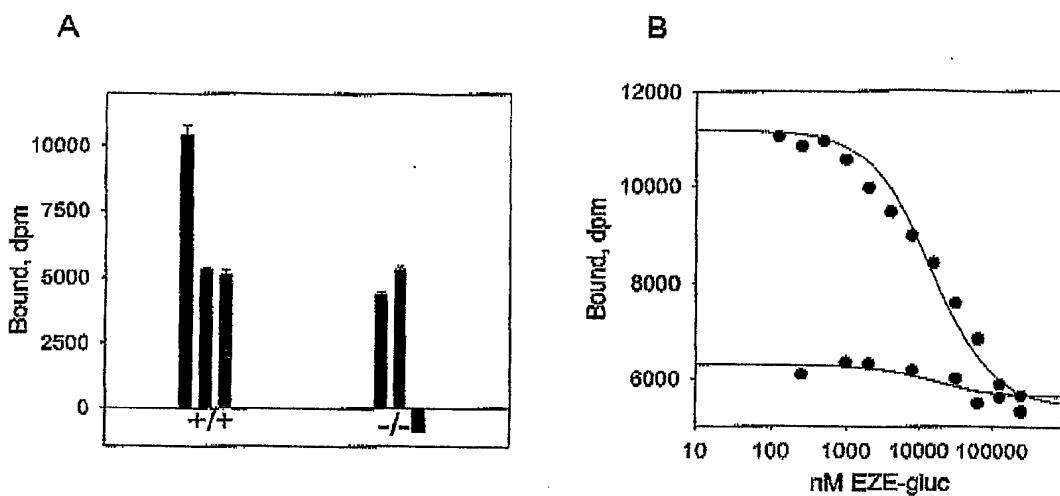
FIGURE 14

FIGURE 15